

PCT REQUEST

Original (for SUBMISSION)

0	For receiving Office use only	
0-1	International Application No.	
0-2	International Filing Date	
0-3	Name of receiving Office and "PCT International Application"	
0-4	Form PCT/RO/101 PCT Request	
0-4-1	Prepared Using	PCT-SAFE [EASY mode] Version 3.50 (Build 0002.169)
0-5	Petition The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty	
0-6	Receiving Office (specified by the applicant)	Patent Office (India) (RO/IN)
0-7	Applicant's or agent's file reference	FPAA667PCT
I	Title of Invention	IMPROVED PROCESS FOR THE PREPARATION OF BIOLOGICALLY ACTIVE TETRAHYDROBENZTHIAZOLE DERIVATIVE
II	Applicant	
II-1	This person is	applicant only
II-2	Applicant for	all designated States except US
II-4	Name	ALEMBIC LIMITED
II-5	Address	Alembic Road, Gujarat, 390 003 Vadodara India
II-6	State of nationality	IN
II-7	State of residence	IN
II-8	Telephone No.	+ 91 265 230 7423
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II-10	e-mail	kishorvinchhi@alembic.co.in

PCT REQUEST

Original (for SUBMISSION)

III-1	Applicant and/or inventor	
III-1-1	This person is	applicant and inventor
III-1-2	Applicant for	US only
III-1-4	Name (LAST, First)	MISTRY, Dhiren, N.
III-1-5	Address	Alembic Limited, Alembic Road, Gujarat, 390 003 Vadodara India
III-1-6	State of nationality	IN
III-1-7	State of residence	IN
III-2	Applicant and/or inventor	
III-2-1	This person is	applicant and inventor
III-2-2	Applicant for	US only
III-2-4	Name (LAST, First)	SONI, Kamlesh, S.
III-2-5	Address	Alembic Limited, Alembic Road, Gujarat, 390 003 Vadodara India
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III-2-7	State of residence	IN
III-3	Applicant and/or inventor	
III-3-1	This person is	applicant and inventor
III-3-2	Applicant for	US only
III-3-4	Name (LAST, First)	VASOYA, Sanjay, L.
III-3-5	Address	Alembic Limited, Alembic Road, Gujarat, 390 003 Vadodara India
III-3-6	State of nationality	IN
III-3-7	State of residence	IN
III-4	Applicant and/or inventor	
III-4-1	This person is	applicant and inventor
III-4-2	Applicant for	US only
III-4-4	Name (LAST, First)	KANSAL, Vinod, Kumar
III-4-5	Address	Alembic Limited, Alembic Road, Gujarat, 390 003 Vadodara India
III-4-6	State of nationality	IN
III-4-7	State of residence	IN

PCT REQUEST

Original (for SUBMISSION)

IV-1	Agent or common representative; or address for correspondence The person identified below is hereby/ has been appointed to act on behalf of the applicant(s) before the competent International Authorities as:	agent
IV-1-1	Name (LAST, First)	MAJUMDAR, Subhatosh
IV-1-2	Address	S. Majumdar & Co., 5, Harish Mukherjee Road, State of West Bengal, 700 025 Calcutta India
IV-1-3	Telephone No.	+ 91 33 2455 7484
IV-1-4	Facsimile No.	+ 91 33 2455 7487
IV-1-5	e-mail	cal@patentindia.com
IV-2	Additional agent(s)	additional agent(s) with same address as first named agent
IV-2-1	Name(s)	GANGULI, Dr., Sanchita; SANDU, Ashwini; KUMAR, Raju; SEN, Abhishek
V	DESIGNATIONS	
V-1	The filing of this request constitutes under Rule 4.9(a), the designation of all Contracting States bound by the PCT on the international filing date, for the grant of every kind of protection available and, where applicable, for the grant of both regional and national patents.	
VI-1	Priority claim of earlier national application	
VI-1-1	Filing date	01 July 2004 (01.07.2004)
VI-1-2	Number	706/MUM/2004
VI-1-3	Country	IN
VI-2	Priority document request The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) identified above as item(s):	VI-1
VII-1	International Searching Authority Chosen	European Patent Office (EPO) (ISA/EP)

PCT REQUEST

Original (for SUBMISSION)

VIII	Declarations	Number of declarations	
VIII-1	Declaration as to the identity of the inventor	-	
VIII-2	Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent	-	
VIII-3	Declaration as to the applicant's entitlement, as at the international filing date, to claim the priority of the earlier application	-	
VIII-4	Declaration of inventorship (only for the purposes of the designation of the United States of America)	-	
VIII-5	Declaration as to non-prejudicial disclosures or exceptions to lack of novelty	-	
IX	Check list	number of sheets	electronic file(s) attached
IX-1	Request (including declaration sheets)	5	✓
IX-2	Description	19	-
IX-3	Claims	8	-
IX-4	Abstract	1	✓
IX-5	Drawings	0	-
IX-7	TOTAL	33	
	Accompanying Items	paper document(s) attached	electronic file(s) attached
IX-8	Fee calculation sheet	✓	-
IX-17	PCT-SAFE physical media	-	✓
IX-19	Figure of the drawings which should accompany the abstract		
IX-20	Language of filing of the international application	English	
X-1	Signature of applicant, agent or common representative		
X-1-1	Name (LAST, First)	GANGULI, Dr., Sanchita	
X-1-2	Name of signatory		
X-1-3	Capacity		

PCT REQUEST

Original (for SUBMISSION)

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10-1	Date of actual receipt of the purported international application	
10-2	Drawings:	
10-2-1	Received	
10-2-2	Not received	
10-3	Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application	
10-4	Date of timely receipt of the required corrections under PCT Article 11(2)	
10-5	International Searching Authority	ISA/EP
10-6	Transmittal of search copy delayed until search fee is paid	

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11-1	Date of receipt of the record copy by the International Bureau	
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PCT (ANNEX - FEE CALCULATION SHEET)

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(This sheet is not part of and does not count as a sheet of the international application)

0	For receiving Office use only			
0-1	International Application No.			
0-2	Date stamp of the receiving Office			
0-4	Form PCT/RO/101 (Annex) PCT Fee Calculation Sheet Prepared Using		PCT-SAFE [EASY mode] Version 3.50 (Build 0002.169)	
0-9	Applicant's or agent's file reference		FPAA667PCT	
2	Applicant		ALEMBIC LIMITED	
12	Calculation of prescribed fees		fee amount/multiplier	Total amounts (INR) Total amounts (USD)
12-1	Transmittal fee	T	⇒	8000
12-2-1	Search fee	S	⇒	2075
12-2-2	International search to be carried out by	EP		
12-3	International filing fee (first 30 sheets)	i1	1211 USD	
12-4	Remaining sheets	3		
12-5	Additional amount	(X)	13 USD	
12-6	Total additional amount	i2	39 USD	
12-7	i1 + i2 =	i	1250 USD	
12-12	EASY Filing reduction	R	USD-87	
12-13	Total International filing fee (i-R)	I	⇒	1163
12-14	Fee for priority document			
	Number of priority documents requested	1		
12-15	Fee per document	(X)	4000 INR	
12-16	Total priority document fee:	P	⇒	4000
12-17	TOTAL FEES PAYABLE (T+S+I+P)		⇒	12000 3238
12-19	Mode of payment		Transmittal fee: cheque Search fee: bank draft International filing fee : bank draft Priority document fee: cheque	

Improved process for the preparation of the intermediate compound of formula II for formation of biological active tetrahydrobenzothiazole compound of formula (I) as well as the biological active tetrahydrobenzothiazole compound of formula (I) and/or its pharmaceutically acceptable salts or solvates.

FORMULA - I

The process comprises reacting 4-amino cyclohexanol of formula (III) or its acid addition salts with phthalic anhydride in presence of acid catalyst and their salts, in polar aprotic solvent or its mixture with organic solvent, capable of removing water azeotropically to give 4-(phthalimido)-cyclohexanol of formula (IV); oxidizing 4-(phthalimido)-cyclohexanol of formula (IV) to give 4-(phthalimido)-cyclohexanone of formula (V); brominating 4-(phthalimido)-cyclohexanone of formula (V) with brominating agent in organic solvent in presence of Lewis acid catalyst to prepare 2-bromo-4-(phthalimido)-cyclohexanone of formula (VI); treating 2-bromo-4-(phthalimido)-cyclohexanone of formula (VI) with thiourea in organic solvent in presence of base to give 2-amino-6-phthalimido-4,5,6,7-tetrahydro benzothiazol of formula (VII); reacting compound of formula (VII) with hydrazine hydrate and base in polar solvent to give racemic 2,6-diamino-4,5,6,7-tetrahydro-1,3-benzothiazole of formula (VIII); resolving racemic 2,6-diamino-4,5,6,7-tetrahydro-1,3-benzothiazole of formula (VIII) to prepare (6S)-2,6-diamino-4,5,6,7-tetrahydro-1,3-benzothiazole of formula (II). To form the compound of Formula I and if desired its salts/ solvates the above process is carried out with further steps of

coupling (6S)-2,6-diamino-4,5,6,7-tetrahydro-1,3-benzothiazole of formula (II) with propionaldehyde in presence of mineral acid in polar organic solvent and reducing agent to prepare (S)-(-)-2-Amino-6-(n-propylamino)-4,5,6,7-tetrahydrobenzothiazole of formula (I); and if desired converting (S)-(-)-2-Amino-6-(propylamino)-4,5,6,7-tetrahydrobenzothiazole to its pharmaceutically acceptable salts or solvates.

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Original (for SUBMISSION)

13-2-7	Validation messages Contents	Yellow! The power of attorney or a copy of the general power of attorney will need to be furnished unless all applicants sign the request form.
	Validation messages Contents	Yellow The abstract shall be as concise as the disclosure permits (preferably 50 to 150 words if it is in English or when translated into English).
	Validation messages Contents	Green? The international application contains no drawings. Please verify.